```
ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
L4
ΑN
     2004:1154779 CAPLUS Full-text
DN
     142:62766
ΤI
     Product of coprecipitation of sparingly soluble substance and
     water-soluble polymer and process for producing the same
     Ishikura, Toyoaki; Udaqawa, Chikako; Misaka, Masato; Suemune, Kenji;
IN
     Kitahara, Shinichi; Ono, Kiyoko; Koyanagi, Akihiro
     Meiji Seika Kaisha, Ltd., Japan
PA
SO
     PCT Int. Appl., 31 pp.
     CODEN: PIXXD2
DΤ
     Patent
LA
     Japanese
FAN.CNT 2
                         KIND
     PATENT NO.
                                DATE
                                            APPLICATION NO.
                                                                   DATE
                                -----
                         ----
                                            ------
                                            WO 2004-JP8727
PΙ
     WO 2004113451
                         Al
                                20041229
                                                                   20040621
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRAI JP 2003-175646
                          Α
                                20030620
     Disclosed is a product of the copptn. of 2-(1-isopropoxy-carbonyloxy-2-
     methylpropyl)-7,8-dimethoxy-4(5H),10-dioxo-2H-1,2,3-triazolo[4,5-
     c][1]benzoazepine (I) and a water-soluble polymer. The copptn. product
     is excellent in solubility and absorbability. Crystalline I and Me
     cellulose were dissolved in DMSO. The mixture was dropped into an
     aqueous solution containing Me cellulose to give ppts., which showed a
     solubility 16.8 µg/mL, as compared to 0.8 µg/mL for crystalline I.
IT
     222633-22-9
     RL: PEP (Physical, engineering or chemical process); PRP (Properties);
     PYP (Physical process); THU (Therapeutic use); BIOL (Biological study);
     PROC (Process); USES (Uses) (copptn. of sparingly soluble tricyclic
     triazolobenzazepine derivative and water-soluble polymer for improving
     solubility)
     222633-22-9 CAPLUS
RN
     Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-
CN
     triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl
     ester (9CI) (CA INDEX NAME)
 MeO
 MeO
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RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
L4
AN
     2003:532667 CAPLUS Full-text
DN
     139:90493
ΤI
     Amorphous substance of tricyclic triazolobenzazepine derivative
IN
     Ishikura, Toyoaki; Ishizawa, Takayuki; Suemune, Kenji; Ishiwata, Mayumi;
     Udagawa, Chikako
PA
     Meiji Seika Kaisha, Ltd., Japan
so
     PCT Int. Appl., 25 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LА
FAN.CNT 2
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                                            WO 2002-JP13558
PΙ
     WO 2003055886
                          A1
                                20030710
                                                                    20021225
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1466914
                          A1
                                20041013
                                            EP 2002-790871
                                                                    20021225
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRAI JP 2001-393016
                                20011226
                          Α
     WO 2002-JP13558
                          W
                                20021225
AΒ
     Disclosed are amorphous 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-7,8-
     dimethoxy-4(5H),10-dioxo-2H-1,2,3-triazolo[4,5-c][1]benzazepine(I),
     which is improved in absorbability and solubility; and a medicinal
     composition containing the compound Also provided are processes for
     producing amorphous compound I and for producing a medicinal composition
     containing the compound An amorphous compound I was dissolved in
     methylene chloride, and mixed with Me cellulose (Metolose SM15) and
     methanol. The mixture was then spray dried to obtain an amorphous
     powder of the present invention.
IT
     222633-22-9
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
     (amorphous substance of tricyclic triazolobenzazepine derivative having
      improved absorbability and solubility)
RN
     222633-22-9 CAPLUS
     Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-
CN
     triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl
     ester (9CI) (CA INDEX NAME)
```

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:532666 CAPLUS Full-text

DN 139:95490

TI Crystalline tricyclic triazolobenzazepine derivative

IN Kitahara, Shin-Ichi; Furukawa, Hanae; Yamaguchi, Toshihiro; Miyamoto, Sachiko; Okada, Yumiko

PA Meiji Seika Kaisha, Ltd., Japan

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.				KIN	D	DATE		APPLICATION NO.									
					-													
ΡI	WO 2003055885			A1 20030710			1	WO 2002-JP13557						20021225				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	ΚP,	KR,	ΚŹ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	zw					
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	EP 1469000			A1 20041020				EP 2002-790870						20021225				
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
PRAI	JP	2001	-393	016		Α		2001	1226									
	WO	2002	-JP1	3557		W		2002	1225									
AB	Cr	ystal	lline	2 - (	(1-is	opro	xoq	ycark	onyl	оху-	2-me	thyl	prop	y1)-	7,8-	dime	thox	cy-
	A(E,U) 10 dioxo-2U-1 2 2-triagolo [4 E-c] [1] hengagenine (T) /Y ray										_							

4(5-H),10- dioxo-2H-1,2,3-triazolo[4,5-c][1]benzazepine (I) (X ray crystallog. data given) is claimed. I is an antiallergic agent.

IT 222633-22-9P

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (crystalline tricyclic triazolobenzazepine derivative as antiallergic agent)

RN 222633-22-9 CAPLUS

CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
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- IN Ohtsuka, Yasuo; Nishizuka, Toshio; Shiokawa, Sohjiro; Tsutsumi, Seiji; Kawaguchi, Mami; Kitagawa, Hideo; Takata, Hiromi; Shishikura, Takashi; Ishikura, Toyoaki; Fushihara, Kenichi; Okada, Yumiko; Miyamoto, Sachiko; Shiobara, Maki
- PA Meiji Seika Kaisha, Ltd., Japan
- SO PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

GΙ

FAN.		PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
ΡI	WO							WO 1998-JP4363						19980929					
		W:	AL,	AM,	ΑT,	AU,	ΑZ,	ВA,	BB,	BG,	BF	₹,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	H	₹,	HU,	ID,	IL,	IS,	JP,	ΚE,	KG,
			KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	. LI	J,	MD,	MG,	MK,	MN,	MW,	MX,	NO,
				-		-		SD,	-			•	•		•	•	•	•	UA,
								ZW,											
		RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SZ,	ŬĠ,	ZV	Ī,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NI	٠, د	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
			CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TI	Ο,	TG						
	CA									CA 1998-2305307									
	AU 9891869						AU 1998-91869							19980929					
	AU	7446	36			B2		2002											
	ΕP	1026	167			A1		2000	0809		ΕP	19	998-9	9442	89		19	9980	929
	ΕP	1026				B1		2003											
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	FΙ															
	TR	2000	0080	8		T2		2000	0821		TR	20	000-2	2000	00808	3	19	9980	929
	BR	9814	055			Α		2000	0926		BR	19	998-3	1405	5		19	9980	929
		3188				B2		2001	0716				999-5					9980	929
	TW	5109	02			В		2002	1121		TW	19	998-8	3711	6198		19	9980	929
	RU	U 2198885		C2	C2 20030220			RU 2000-111517											
	ΑT	2337	64			E		2003	0315		ΑT	19	998-9	9442	89		19	9980	929
	PT	1026	167			T		2003	0731		PT	19	998-9	9442	89		19	9980	929
	ES	2191	963			Т3		2003	0916		ES	19	998-9	9442	89		19	99809	929
	SK	2838	69			В6		2004	0302		SK	20	000-4	125			19	9980	929
	NO	2000	0015	00		Α		2000	0518		NO	20	000-1	1500			20	0000	323
	MX	2000	0304	7		Α		2000	1110		MX	20	000-3	3047			20	0000	328
	US	6372	735			В1		2002	0416		US	20	000-5	094	94		20	0000	329
	US	2002	13773	39		A1		2002	0926		US	20	002-7	7332	5		20	00202	213
PRAI		1997						1997	0929										
		1998						1998	0304										
		1998						1998	0929										
	US	2000	-5094	494		A3		2000	0329										
os	OS MARPAT 130:282073																		

AN 1999:233920 CAPLUS Full-text

DN 130:282073

TI Preparation of tricyclic triazolobenzazepine derivatives as prodrugs for antiallergic agents

$$\mathbb{R}^3$$
 $\mathbb{R}^2$ 
 $\mathbb{R}^1$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^1$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^1$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^3$ 
 $\mathbb{R}^3$ 

AB Tricyclic triazolobenzazepine derivs. represented by general formula [1; R1 represents hydrogen, OH, alkyl, or phenylalkyl; R2, R3, R4, and R5 each represents hydrogen, halogeno, optionally protected hydroxyl, formyl, optionally substituted alkyl, alkenyl, alkoxy, etc.; Q represents a group selected among groups of OCO2R33, O2CR34, O2CNR35R36, OP(:O)(OR37)OR38, halogeno, or alkoxy; R33 and R34 each represent (un) substituted alkyl, Ph, or (un) saturated 5- to 7-membered ring heterocyclyl, etc.; and R35 and R36 each represent hydrogen or (un) substituted alkyl or NR35R36 forms a (un) saturated 5- to 7-membered ring heterocyclyl] in the form of a prodrug. and pharmacol. acceptable salts and solvates thereof are prepared These compds. have excellent bioavailability. Thus, 1.07 g Et 5-(4,5-dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate (preparation given) and 53 mg p-MeC6H4SO3H.H2O were suspended in CH2Cl2 and stirred with 330 mg isobutyraldehyde at room temperature for 25 min, followed by adding 744 mg 1,1'-carbonyldiimidazole in 5.0 mL CH2Cl2, and the resulting mixture was stirred at room temperature for 3 h and then refluxed with 920 mg iso-Pr alc. to give 34% Et 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-5-(4,5- dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate. latter compound was hydrogenated over Pd(OH)2 in EtOAc at room temperature for 15 h to give 99% Et 5-(2-amino-4,5-dimethoxybenzoyl)-2-(1-isopropoxycarbonyloxy-2- methylpropyl)-1H-1,2,3-triazole-4carboxylate which was heated in AcOH at 100° for 2 h with stirring to give the title compound (II) in 62% yield. When II in 0.5% aqueous methylcellulose was administered p.o. to dogs or rats, the area under the concentration time curve (AUC) value was 1.2±0.3 µmol. h/L for dogs and  $1.4\pm0.1$  µmol. h/L for rats, which was 4-times higher in dog and 7times higher in rats compared to that of its active form. A tablet and a fine powder formulation containing II were described.

IT 222633-22-9P 222633-24-1P 222633-28-5P 222633-30-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of tricyclic triazolobenzazepine derivs. as prodrugs for antiallergic agents)

RN 222633-22-9 CAPLUS

CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 222633-24-1 CAPLUS

CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 2-ethoxy-1-(ethoxymethyl)ethyl ester (9CI) (CA INDEX NAME)

RN 222633-28-5 CAPLUS

CN Carbonic acid, 1-[5,10-dihydro-7-methoxy-8-(1-methylethoxy)-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl]-2-methylpropyl 2-ethoxy-1-(ethoxymethyl)ethyl ester (9CI) (CA INDEX NAME)

RN 222633-30-9 CAPLUS

CN Carbonic acid, 1-[5,10-dihydro-7-methoxy-8-(1-methylethoxy)-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl]-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l1; d his; log y L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 16:48:30 ON 18 JAN 2005)

FILE 'REGISTRY' ENTERED AT 16:48:38 ON 18 JAN 2005

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 4 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:49:07 ON 18 JAN 2005

L4 4 S L3

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	20.21	181.75
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-2.92	-2.92

STN INTERNATIONAL LOGOFF AT 16:49:37 ON 18 JAN 2005